STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 139420

TO: David Lukton
Location: REM/3B75/3C70
Art Unit: 1653
December 6, 2004

Case Serial Number: 09/600659

From: P. Sheppard
Location: Remsen Building
Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

Access DB# 139420

SEARCH REQUEST FORM
(STIC)

Requestor's Name: David Lukton   Examiner number: 71263   Date: 12/2/04

Art Unit: 1653   Phone number: 571-272-0952   Serial Number: 09-600659

Mail Box: 3-C-70   Examiner Rm: 3-B-75   Results format: paper

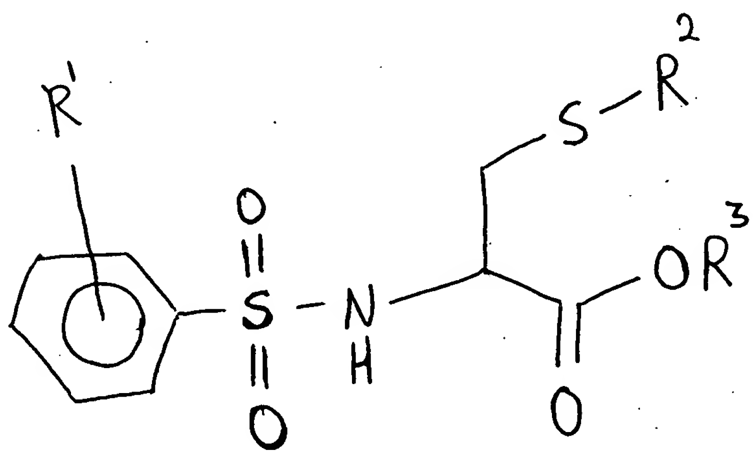
* * * * * * * * * * * * * * *

Title: A PHARMACEUTICAL FORMULATION CONTAINING AN INHIBITOR OF CARBOXYPEPTIDASE U AND A THROMBIN INHIBITOR

Applicants: ABRAHAMSSON, TOMMY; NERME, VIVECA; POLLA, MAGNUS

Earliest Priority Date: 5/3/99

* * *



R^1 = amino or amidino or guanidino

R^2 = hydrogen or acyl

R^3 = anything

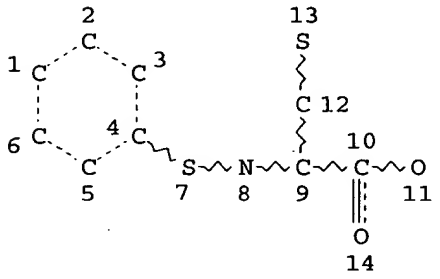
****

STAFF USE ONLY   Type of Search   Vendors and cost where applicable

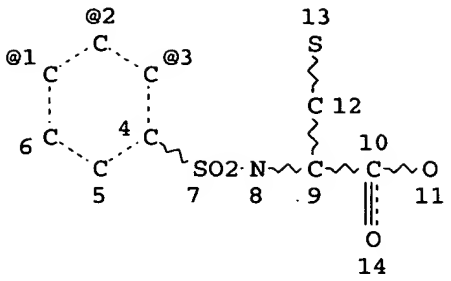
```
=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 15:24:05 ON 06 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 6 Dec 2004  VOL 141 ISS 24
FILE LAST UPDATED: 5 Dec 2004  (20041205/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=>
=>

=> d stat que
L21                STR
```




```
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  14

STEREO ATTRIBUTES: NONE
L25         447 SEA FILE=REGISTRY SSS FUL L21
L30             STR
```



VPA 15-1/2/3 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE
L31 35 SEA FILE=REGISTRY SUB=L25 SSS FUL L30
L32 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L31

=>
=>

=> d ibib abs hitstr l32 1-19

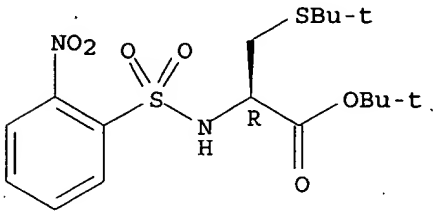
L32 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:582946 HCAPLUS
DOCUMENT NUMBER: 141:272782
TITLE: Stereoselective Synthesis of Natural N-(1-Deoxy-D-β-fructos-1-yl)-L-amino Acids and Their Effect on Lead Decorporation
AUTHOR(S): Huo, Caixia; Wang, Chao; Zhao, Ming; Peng, Shiqi
CORPORATE SOURCE: College of Pharmaceutical Sciences, Peking University, Beijing, 100083, Peop. Rep. China
SOURCE: Chemical Research in Toxicology (2004), 17(8), 1112-1120
CODEN: CRTOEC; ISSN: 0893-228X
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-(1-Deoxy-D-fructos-1-yl)-L-amino acids isolated from hog liver are endogenous lead decorporation substances with low toxicity and cell membrane crossing ability. To simulate the effect of the natural N-(1-deoxy-D-fructos-1-yl)-L-amino acids on lead decorporation, a series of the epimerically pure N-(1-deoxy-D-fructos-1-yl)-L-amino acids (6a-eβ) were synthesized, and their usefulness as antagonists of lead intoxication was investigated. The results suggest that after treatment with 6a-eβ the liver, kidney, bone, and brain, lead levels of mice were significantly reduced in comparison with the control group. Except for bone and brain lead levels of the mice after chelating treatment with 6dβ, all of the other tissue lead levels of mice after chelating treatment with 6a-eβ are significantly lower than those of mice after treatment with DL-penicillamine. All fecal lead levels of mice after treatment with 6a-eβ are significantly higher than those of mice after treatment with 0.9% saline (controls) and DL-penicillamine. The

effects of all chelating agents on urinary excretion of lead in mice are clearly superior to the control. The results of the present studies on repeated lead exposure indicated that at tested levels of i.p. injections, the fructose-amino acids were effective antagonists of lead poisoning under the exptl. conditions. After treatment with the chelators, the concentration of essential metals in mice did not exhibit change as compared to the control. The effects of the compds. on cadmium decorporation were also investigated, and similar results were observed

IT **757241-92-2P 757241-96-6P 757242-02-7P 757242-08-3P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective synthesis of natural N-(1-Deoxy-D-β-fructos-1-yl)-L-amino acids and their effect on lead decorporation in mice)

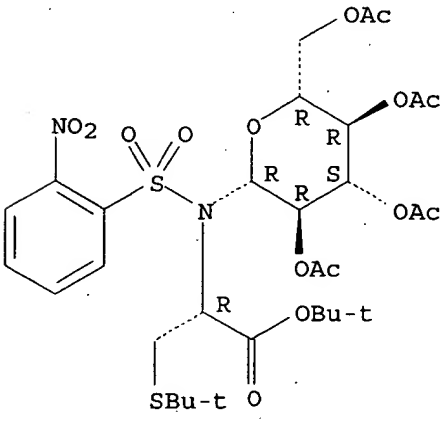
RN 757241-92-2 HCAPLUS
CN L-Cysteine, S-(1,1-dimethylethyl)-N-[(2-nitrophenyl)sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



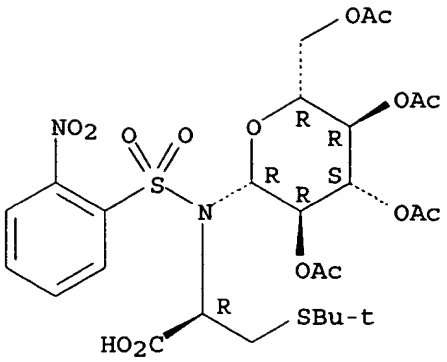
RN 757241-96-6 HCAPLUS
CN L-Cysteine, S-(1,1-dimethylethyl)-N-[(2-nitrophenyl)sulfonyl]-N-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



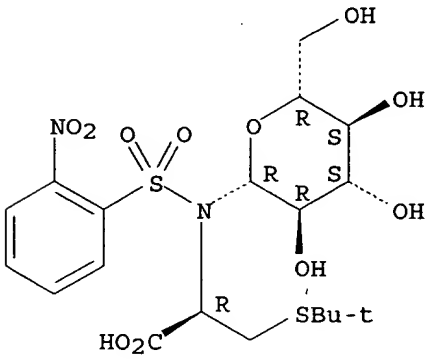
RN 757242-02-7 HCAPLUS
CN L-Cysteine, S-(1,1-dimethylethyl)-N-[(2-nitrophenyl)sulfonyl]-N-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 757242-08-3 HCAPLUS
CN L-Cysteine, S-(1,1-dimethylethyl)-N-β-D-glucopyranosyl-N-[(2-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:283928 HCAPLUS
DOCUMENT NUMBER: 134:310745
TITLE: Preparation of beta disubstituted metalloprotease inhibitors
INVENTOR(S): Pikul, Stanislaw; Ohler, Norman Eugene; Solinsky, Kelly Michelle; Almstead, Neil Gregory; De, Biswanath; Natchus, Michael George
PATENT ASSIGNEE(S): Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

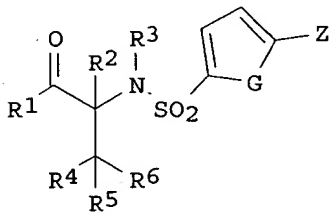
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027084	A1	20010419	WO 2000-US28194	20001012
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,				

KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2386485	AA	20010419	CA 2000-2386485	20001012
BR 2000014759	A	20020702	BR 2000-14759	20001012
EP 1224171	A1	20020724	EP 2000-970820	20001012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200977	T2	20020821	TR 2002-200200977	20001012
JP 2003519100	T2	20030617	JP 2001-530105	20001012
NZ 517983	A	20040130	NZ 2000-517983	20001012
US 6696456	B1	20040224	US 2000-687681	20001013
ZA 2002002207	A	20021009	ZA 2002-2207	20020101
NO 2002001748	A	20020614	NO 2002-1748	20020412
US 2004142975	A1	20040722	US 2003-744346	20031223
PRIORITY APPLN. INFO.:			US 1999-159320P	P 19991014
			WO 2000-US28194	W 20001012
			US 2000-687681	A1 20001013

OTHER SOURCE(S): MARPAT 134:310745

GI



I

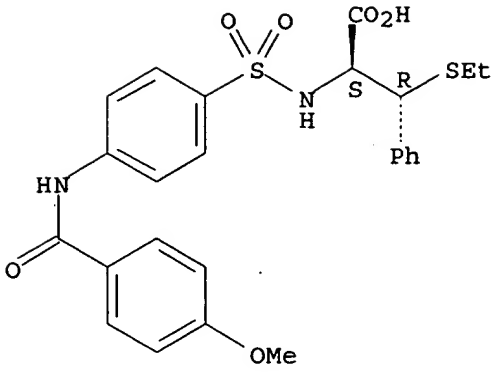
AB Compds. I [R1 = OH, NHOH; R2 = hydrogen, hydroxyl, alkoxy, alkyl, alkenyl, alkynyl, heteroalkyl,haloalkyl, cycloalkyl, heterocycloalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, halo; R3 = hydrogen, alkyl, alkenyl, alkynyl, heteroalkyl, haloalkyl, aryl,arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, heterocycloalkyl; R4 = (CR7CR7')kX(CR8CR8')lEA and k = 0-4 and l = 0-4 and each of R7, R7', R8, R8' = H, alkyl, alkenyl, alkynyl, aryl, etc. and X = O, S, SO, etc. and E = bond, SO2, NR10, etc. and A = H, alkyl, alkenyl, etc.; R5 = H, alkyl, haloalkyl, etc.; R6 = alkyl, alkenyl, alkynyl, etc.; G = S, O, NR11, etc.; Z = cycloalkyl, heterocycloalkyl, etc.], which are inhibitors of metalloproteases, were prepared E.g., (2R,3S)-2-(4'-methoxybiphenyl-4-sulfonylamino)-3-(4-methylbenzyloxy)-3-thiazol-2-ylpropionic acid was prepared

IT **334991-58-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of beta disubstituted metalloprotease inhibitors)

RN 334991-58-1 HCAPLUS

CN D-Phenylalanine, β-(ethylthio)-N-[[4-[(4-methoxybenzoyl)amino]phenyl]sulfonyl]-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



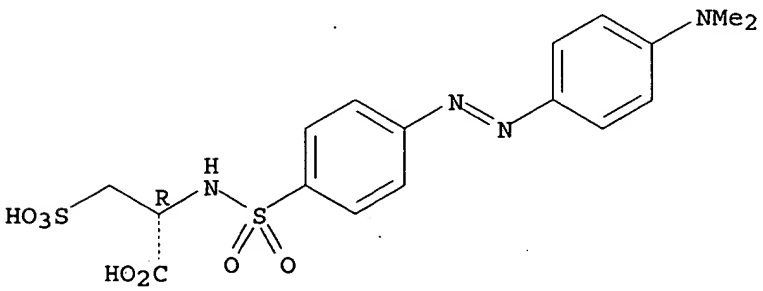
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:482690 HCAPLUS
DOCUMENT NUMBER: 117:82690
TITLE: Nonradioactive determination of PTH and dabsyl phosphoamino acids by capillary electrophoresis
AUTHOR(S): Heber, M.; Liedtke, C.; Korte, H.; Hoffmann-Posorske, E.; Donella-Deana, A.; Pinna, L. A.; Perich, J.; Kitas, E.; Johns, R. B.; Meyer, H. E.
CORPORATE SOURCE: Inst. Physiol. Chem., Ruhr-Univ. Bochum, Bochum, 4630, Germany
SOURCE: Chromatographia (1992), 33(7-8), 347-50
CODEN: CHRGB7; ISSN: 0009-5893
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Capillary electrophoresis is a novel technique in the nonradioactive determination of phosphoamino acids. The main advantage of the method presented is the high selectivity and the ability to sep. all phosphoamino acid derivs. Non-radioactive determination of PTH or dabsyl phosphoamino acids by capillary electrophoresis provides a fast and simple screening procedure for all O-phosphorylated amino acids in protein and peptides in the low picomolar range.

IT **97684-93-0**
RL: ANST (Analytical study); PROC (Process)
(separation of, by capillary electrophoresis)
RN 97684-93-0 HCAPLUS
CN L-Alanine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-3-sulfo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

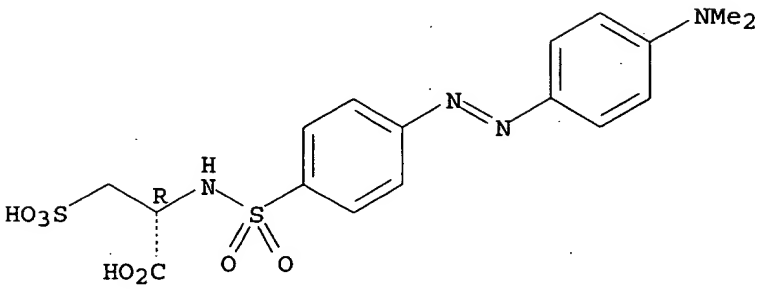


L32 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:403500 HCAPLUS
DOCUMENT NUMBER: 111:3500
TITLE: Reversed-phase high-performance liquid chromatography separation of dimethylaminoazobenzene sulfonyl- and dimethylaminoazobenzene thiohydantoin-amino acid derivatives for amino acid analysis and microsequencing studies at the picomole level
AUTHOR(S): Stocchi, Vilberto; Piccoli, Giovanni; Magnani, Mauro; Palma, Francesco; Biagiarelli, Beatrice; Cucchiarini, Luigi
CORPORATE SOURCE: Ist. Chim. Biol., Univ. Stud. Urbino, Urbino, 61029, Italy
SOURCE: Analytical Biochemistry (1989), 178(1), 107-17
CODEN: ANBCA2; ISSN: 0003-2697
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple and fast reversed-phase HPLC method has been developed for the complete separation of 35 dimethylaminoazobenzene sulfonyl (DABS)-amino acids and byproducts. This method allows simultaneous determination of primary and secondary amino acids which can be present in protein and peptide hydrolyzates and also detects the presence of cysteic acid, S-sulfocysteine, hydroxyproline, taurine, norleucine, cystine, and δ-hydroxylysine. The precolumn derivatization of amino acids with dimethylaminoazobenzene sulfonyl chloride (DABS-Cl) is simple and quick (10 min at 70°) and allows the complete reaction of primary and secondary amino acids. The separation of the compds. under investigation is achieved in 25 min using a reversed-phase 3-μm Supelcosil LC-18 column at room temperature The versatility of the proposed method is documented by amino acid determination on protein samples obtained using different hydrolysis techniques (HCl, methane-sulfonic acid, and NaOH), with attention given to the detection of tryptophan in protein samples with high sugar concentration Furthermore, the exptl. conditions are reported which are necessary to apply this method to the amino acid anal. of very low amount of proteins (1 to 5 μg) electroeluted from a stained band after sodium dodecyl sulfate-polyacrylamide gel electrophoresis. The stability of DABS-derivs., the short time of anal., the high reproducibility and sensitivity of the system, and the complete resolution of all compds. of interest make this method suitable for routine anal. Furthermore, a fast reversed-phase HPLC method was developed for the complete separation of dimethylaminoazobenzene thiohydantoin (DABTH)-amino acids. The separation of the compds. under investigation is obtained, at room temperature, in less than 18 min using a reversed-phase Supelcosil LC-18 DB column, 3-μm particles, and also allows the complete separation of DABTH-isoleucine, DABTH-leucine, and DABTH-norleucine. The short time of anal., together with the high reproducibility of the system and its sensitivity at picomole levels, make this method very suitable for the identification of DABTH-amino acids released during microsequencing studies of proteins and peptides with the dimethylaminoazobenzene isothiocyanate reagent. It is possible to obtain complete separation of DABTH-amino acids also under isocratic conditions. However, in this case, it is necessary to elute the excess reagent from the column at the end of the day, and this can only be achieved using a high concentration of solvent B (85% acetonitrile). The 2 different HPLC methods are recommended for amino acid anal. and microsequencing.

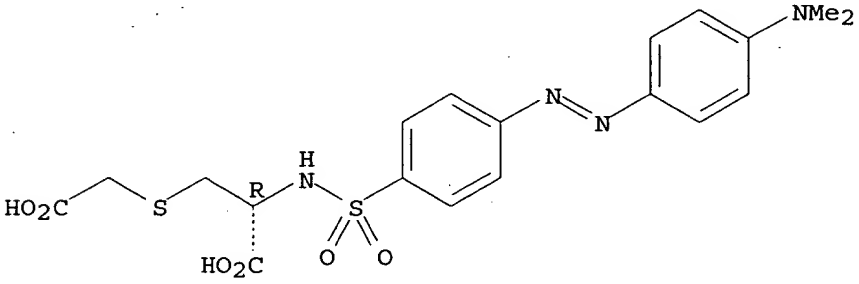
IT **97684-93-0 97684-95-2 121064-61-7**
RL: ANT (Analyte); ANST (Analytical study)
(determination of, by reversed-phase HPLC)
RN 97684-93-0 HCAPLUS
CN L-Alanine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-3-sulfo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



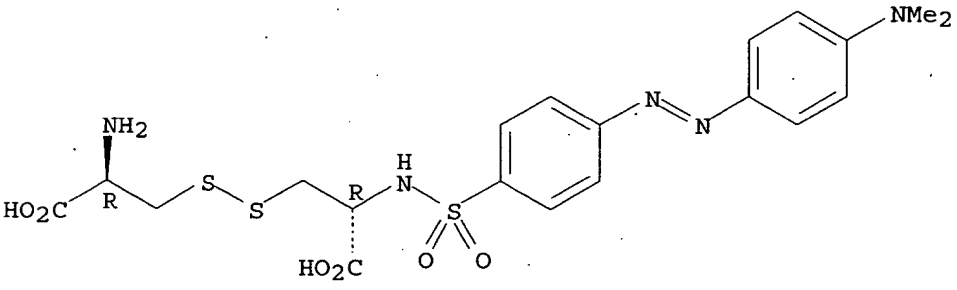
RN 97684-95-2 HCAPLUS
CN L-Cysteine, S-(carboxymethyl)-N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 121064-61-7 HCAPLUS
CN L-Cystine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:469689 HCAPLUS
DOCUMENT NUMBER: 109:69689
TITLE: Comparison of reverse-phase high-performance liquid chromatographic methods for precolumn-derivatized amino acids
AUTHOR(S): McClung, G.; Frankenberger, W. T., Jr.

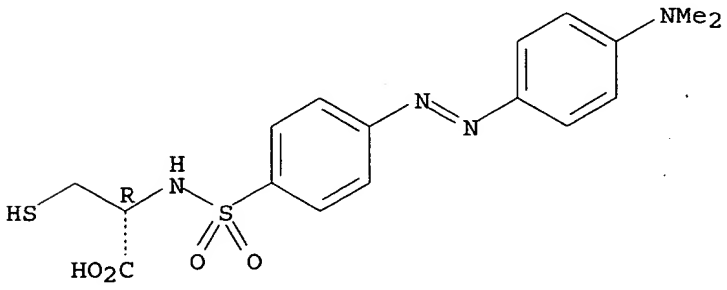
CORPORATE SOURCE: Dep. Soil Environ. Sci., Univ. California, Riverside, CA, 92521, USA
SOURCE: Journal of Liquid Chromatography (1988), 11(3), 613-46
CODEN: JLCHD8; ISSN: 0148-3919
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:69689

AB A comparison was made among 5 precolumn derivatization techniques for amino acid anal. using reversed-phase HPLC. All chromatog. analyses were conducted using the same instrumentation and a C18 Ultrasphere ODS column (5 μm, 250 + 4.6 mm). The precolumn derivatization methodologies studied included the formation of o-phthaldialdehyde, dimethylaminonaphthalenesulfonyl, dimethylaminoazobenzenesulfony, phenylthiohydantoin, and phenylthiocarbamyl derivs. The derivatization procedures were evaluated for simplicity, time required, and derivative stability. HPLC analyses of the amino acid derivs. were compared in terms of resolution, sensitivity, reproducibility, and time of anal.

IT **98045-83-1**
RL: ANST (Analytical study)
(chromatog. of, reversed-phase high-performance liquid)

RN 98045-83-1 HCAPLUS
CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:175877 HCAPLUS
DOCUMENT NUMBER: 106:175877
TITLE: Debsyl chloride: its synthesis, characterization and application in amino acid and amine microanalysis
AUTHOR(S): Lin, Jen Kun; Wu, Shan Shou
CORPORATE SOURCE: Coll. Med., Natl. Taiwan Univ., Taipei, Taiwan
SOURCE: Journal of the Chinese Biochemical Society (1985), 14(1), 10-19
CODEN: JCBSB5; ISSN: 0379-7368
DOCUMENT TYPE: Journal
LANGUAGE: English

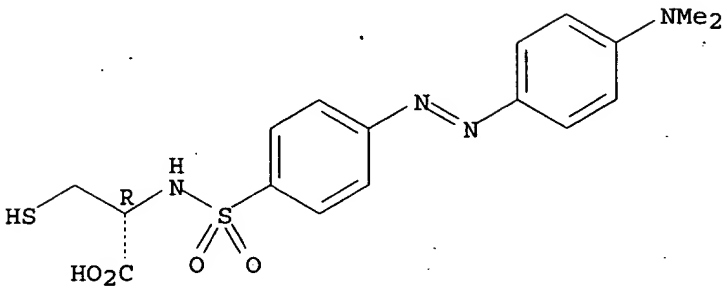
AB Reaction of debsyl chloride p-ClSO2C6H4N:NC6H4NR2-p (I; R = Et) with 20 amino acids and 6 alkylamines gives amino acid (II) and amine (III) derivs. which are separable by HPLC on a C-18 reversed-phase column. Dabsyl chloride (I; R = Me) amino acid derivs. are separated better than II; dabsylamines are not separated as well as as III.

IT **98045-83-1P 107969-09-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and HPLC of)

RN 98045-83-1 HCAPLUS
CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)

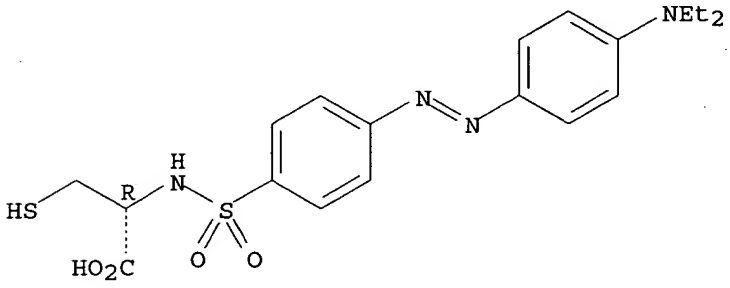
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



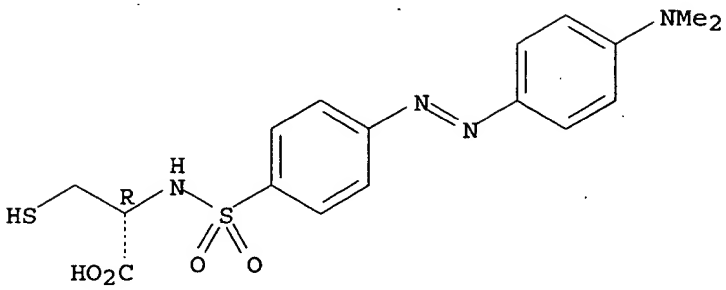
RN 107969-09-5 HCAPLUS
CN L-Cysteine, N-[[4-[[4-(diethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:9830 HCAPLUS
DOCUMENT NUMBER: 106:9830
TITLE: Chromatographic studies on extraction of dimethylaminoazobenzenesulfonyl derivatives of amino acids with mixed polar solvents
AUTHOR(S): Matysik, Grazyna; Soczewinski, Edward; Wolski, Tadeusz
CORPORATE SOURCE: Wydz. Farmaceut., Akad. Med., Lublin, 20-081, Pol.
SOURCE: Chemia Analityczna (Warsaw, Poland) (1986), 31(1), 29-36
CODEN: CANWAJ; ISSN: 0009-2223
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The partition of 20 amino acids as 1-dimethylaminoazobenzene-4'-sulfonyl derivs. in aqueous buffer solns.-CHCl3 solns. of diiso-Bu ketone, n-C6H11OH, or TBP was investigated by the "moist buffered paper" chromatog. In most cases, linear plots RM vs. log concentration of the polar solvent were obtained; the slopes were >1 particularly for the derivative containing addnl. polar groups. The most effective solvents were C6H11OH and TBP.
IT **98045-83-1**
RL: PRP (Properties)
(chromatog. RM values for)
RN 98045-83-1 HCAPLUS
CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

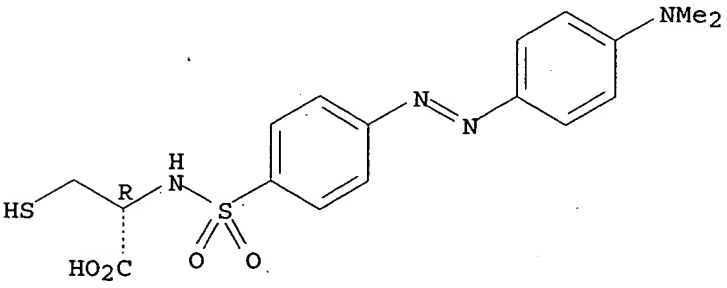


L32 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:542375 HCAPLUS
DOCUMENT NUMBER: 103:142375
TITLE: High purity amino acid derivatives
INVENTOR(S): Wolski, Tadeusz; Golkiewicz, Wladyslaw; Soczewinski, Edward; Bieganowska, Maria; Matysik, Elzbieta Grazyna
PATENT ASSIGNEE(S): Akademia Medyczna, Lublin, Pol.
SOURCE: Pol., 3 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 123652	B1	19821130	PL 1979-217459	19790728

PRIORITY APPLN. INFO.: PL 1979-217459 19790728
AB Reaction of amino acids with 4-(4-Me2NC6H4N:N)C6H4SO2Cl and NaHCO3 in Me2CO gave the N-sulfonates. Derivs. of twenty amino acids were prepared
IT **98045-83-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 98045-83-1 HCAPLUS
CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L32 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:488190 HCAPLUS

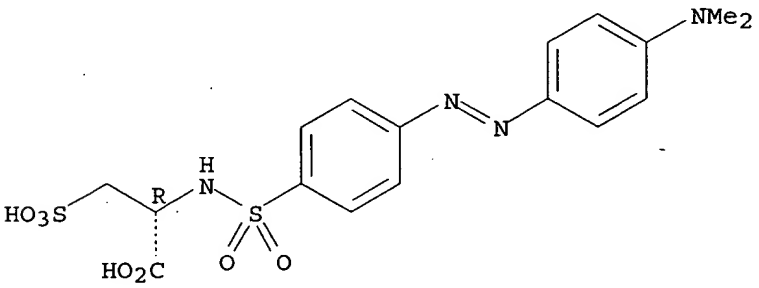
DOCUMENT NUMBER: 103:88190
TITLE: Analyses of dansyl and dabsyl amino acids by reverse-phase high-performance liquid chromatography
AUTHOR(S): Muramoto, Koji; Kamiya, Hisao
CORPORATE SOURCE: Sch. Fish. Sci., Kitasato Univ., Iwate, 022-01, Japan
SOURCE: Nippon Suisan Gakkaishi (1985), 51(5), 817-24
CODEN: NSUGAF; ISSN: 0021-5392
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Dansyl and dabsyl amino acids were separated by reverse-phase high-performance liquid chromatog. on a short column (4.6 + 50 mm) packed with 3 μm ODS particles using a gradient formed from acetone and 10 mM Na phosphate buffer at pH 6.5 or 7.0. The light absorption of the derivs. was used for the detection giving a sensitivity of less than 50 pmol for a dansyl derivative or 10 pmol for a dabsyl derivative This system was applicable to the amino acid analyses, amino-terminal analyses, and carboxyl-terminal analyses with less than 1 nmol of peptides.

IT **97684-93-0P 97684-95-2P 97695-43-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reversed-phase high-performance liquid chromatog. of)

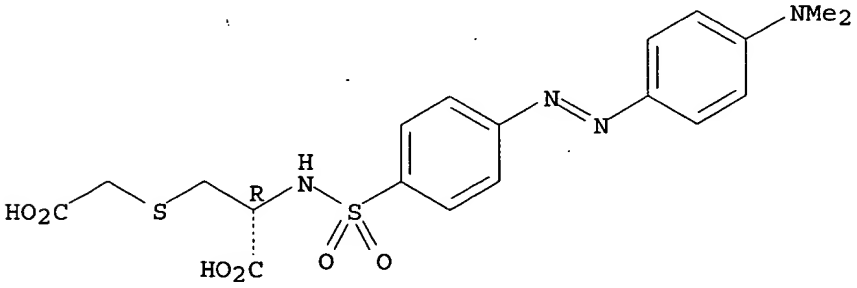
RN 97684-93-0 HCAPLUS
CN L-Alanine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-3-sulfo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 97684-95-2 HCAPLUS
CN L-Cysteine, S-(carboxymethyl)-N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

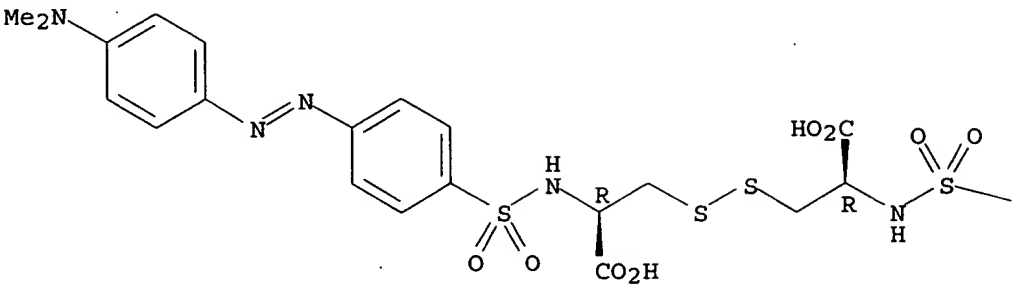
Absolute stereochemistry.
Double bond geometry unknown.



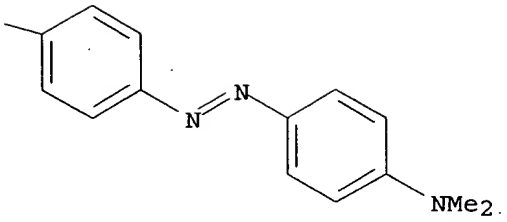
RN 97695-43-7 HCAPLUS
CN L-Cystine, N,N'-bis[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L32 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:484599 HCAPLUS
DOCUMENT NUMBER: 103:84599
TITLE: Amino acid analysis
INVENTOR(S): Ishida, Yasuo; Fujiwara, Michihiko; Kinoshita, Toshio; Nimura, Noriyuki
PATENT ASSIGNEE(S): Shimadzu Corp., Japan
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 138092	A2	19850424	EP 1984-111205	19840920
EP 138092	A3	19870408		
EP 138092	B1	19900711		
R: DE, FR, GB				
JP 60082967	A2	19850511	JP 1983-193070	19831014
JP 01054668	B4	19891120		
US 4670403	A	19870602	US 1984-649549	19840911

PRIORITY APPLN. INFO.: JP 1983-193070 A 19831014

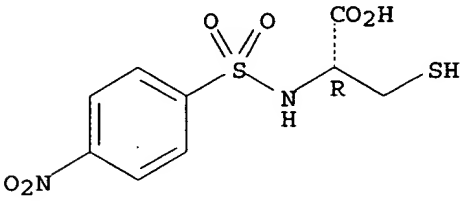
AB Primary amino acids, as well as secondary amino acids, e.g., imino acids (after oxidation), are determined or detected in the nanomole range by liquid chromatog. with either pre- or postcolumn reaction with o-phthalaldehyde and an N-protected cysteine, e.g., N-acetyl-L-cysteine, under alkaline conditions and by fluorometric measurement of the derivs. The method avoids use of mercaptoethanol, and racemic mixts. of amino acids are resolved by use of chiral N-acetyl-L-cysteine. An amino acid analyzer is provided that consists of a chromatog. column, reactor, fluorometer, buffer channels, etc.

IT **25129-02-6**
RL: ANST (Analytical study)
(in amino acids fluorometric determination)

RN 25129-02-6 HCAPLUS

CN L-Cysteine, N-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:453874 HCAPLUS

DOCUMENT NUMBER: 103:53874

TITLE: 2-Penem compounds

INVENTOR(S): Afonso, Adriano

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: Can., 53 pp.
CODEN: CAXXA4

DOCUMENT TYPE: Patent

LANGUAGE: English

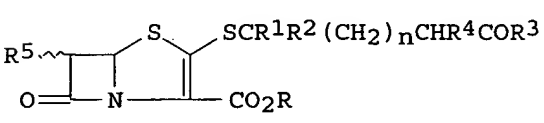
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

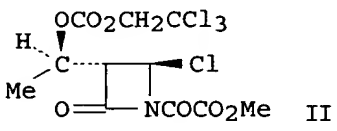
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1180695	A1	19850108	CA 1981-384249	19810820

PRIORITY APPLN. INFO.: CA 1981-384249 19810820

GI



I



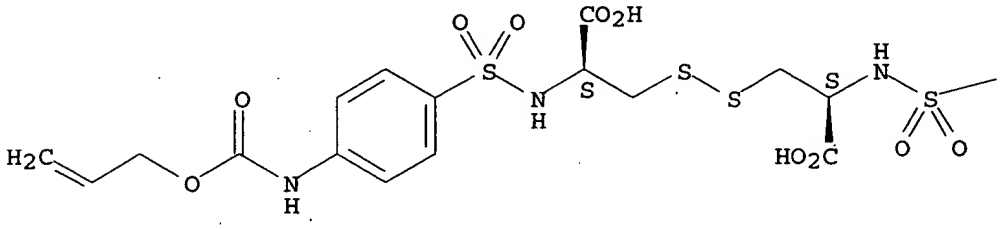
II

AB Penems I [R = H, alkali metal, quaternary ammonium, ester groups; R1, R2 = H, alkyl; R3 = NH2, alkylamino, amino acid residue, (un)esterified OH; R4 = (un)substituted NH2; R5 = H, alkyl, 1-hydroxyalkyl; n = 0-4] were prepared Thus, (5R,6S,8R,2'S)-I (R = Na, R1 = R2 = H, R3 = OH, R4 = NH2, R5 = CHMeOH, n = 0) was obtained from the azetidinone II by reaction with CH2:CHCH2O2CNH-D-Cys-OCH2CH:CH2, cyclization, and deblocking. II was prepared from 6-aminopenicillanic acid in 7 steps.

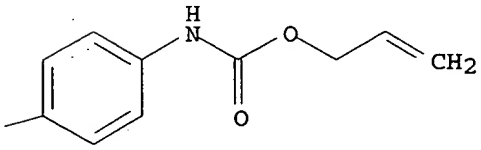
IT **97247-08-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
RN 97247-08-0 HCAPLUS
CN D-Cystine, N,N'-bis[[4-[[(2-propenyloxy)carbonyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



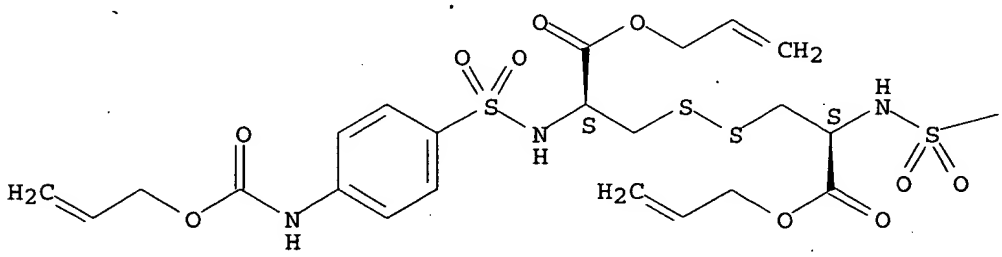
PAGE 1-B



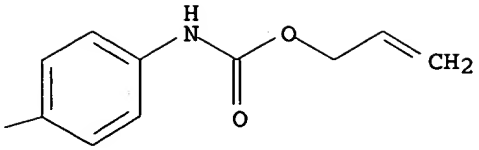
IT **97247-09-1P 97247-20-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
RN 97247-09-1 HCAPLUS
CN D-Cystine, N,N'-bis[[4-[[(2-propenyloxy)carbonyl]amino]phenyl]sulfonyl]-, di-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

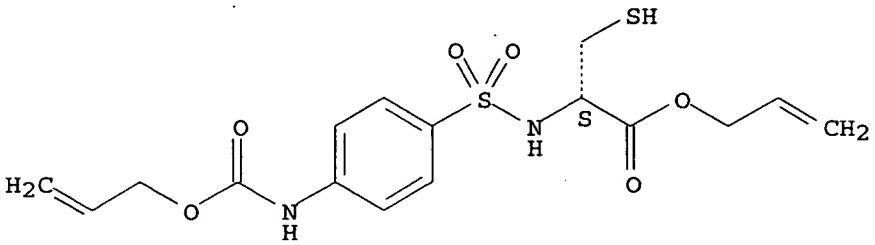


PAGE 1-B



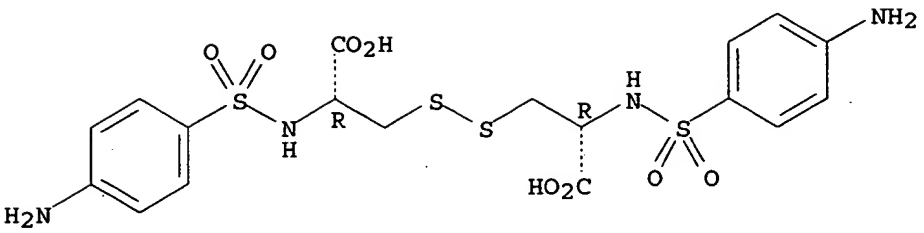
RN 97247-20-6 HCAPLUS
CN D-Cysteine, N-[[4-[[(2-propenyloxy)carbonyl]amino]phenyl]sulfonyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:127769 HCAPLUS
DOCUMENT NUMBER: 102:127769
TITLE: Inhibition of dihydropteroate synthase from Escherichia coli by five sulfonamides
AUTHOR(S): Sai-Ubol, Napaporn; Suttimool, Wichai
CORPORATE SOURCE: Dep. Immunol. Biochem., Armed Forces Res. Inst. Med. Sci., Bangkok, Thailand
SOURCE: Journal of the Science Society of Thailand (1984), 10(3), 135-45
CODEN: VKSTDB; ISSN: 0303-8122
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The inhibitory action of 5 sulfonamides on dihydropteroate synthase (I) purified from E. coli was studied. The Ki values for sulfanilamide, 3-(4-aminophenylsulfonamido)propyl bromide, N,N'-bis(sulfanilyl)-L-cystine, Na 3-(4-aminophenylsulfonamido)propanethiosulfate and N-[4-(4-aminophenylsulfonamido)phenylsulfonyl]glycine were 4.30 + 10-4, 7.50 + 10-4, 3.50 + 10-4, 5.38 + 10-4, and 7.50 + 10-6 M, resp. All 5 sulfonamides showed their inhibitory activity by competing with p-aminobenzoic acid for the active site of I.
IT **83626-72-6**
RL: BIOL (Biological study)
(dihydropteroate synthase of Escherichia coli inhibition by, kinetics of)
RN 83626-72-6 HCAPLUS
CN L-Cystine, N,N'-bis[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



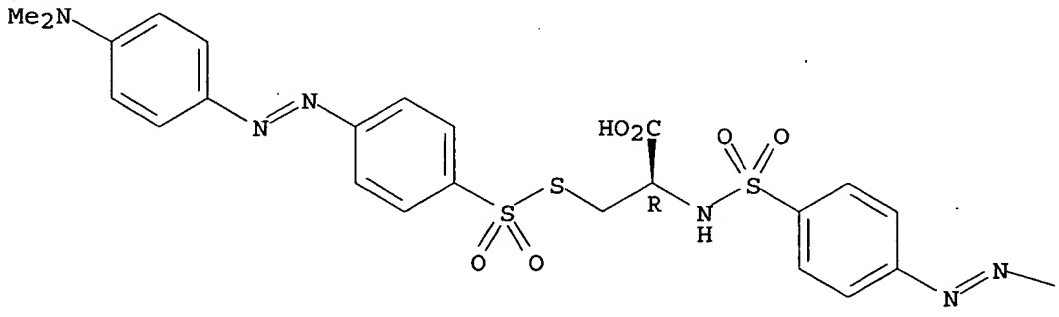
L32 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:131818 HCAPLUS
DOCUMENT NUMBER: 100:131818
TITLE: Optimization of the extraction conditions of amino acid dabsyl derivatives
AUTHOR(S): Wolski, T.; Golkiewicz, W.; Bartuzi, G.
CORPORATE SOURCE: Dep. Inorg. Anal. Chem., Med. Acad., Lublin, 20-081, Pol.
SOURCE: Chromatographia (1984), 18(1), 33-6
CODEN: CHRGB7; ISSN: 0009-5893
DOCUMENT TYPE: Journal
LANGUAGE: English

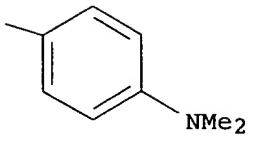
AB Moist buffered paper chromatog. is proposed for the selection of the optimum conditions for the extraction of 4-(dimethylamino)azobenzene-4'-sulfonyl) (dabsyl) derivs. of amino acids. It is shown for each individual acid an optimum pH value exists at which the distribution coefficient reaches a maximum The optimum pH values can be easily determined from chromatog. data. The linear correlation between the static distribution coeffs. and the RM values permit the direct calcn. of the distribution coeffs. from the chromatog. data.

IT **89131-06-6**
RL: PRP (Properties); ANST (Analytical study)
(partition of, between Et ether and water)
RN 89131-06-6 HCAPLUS
CN L-Cysteine, N-[[4-[[4-(dimethylamino)phenyl]azo]phenyl]sulfonyl]-, 4-[[4-(dimethylamino)phenyl]azo]benzenesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



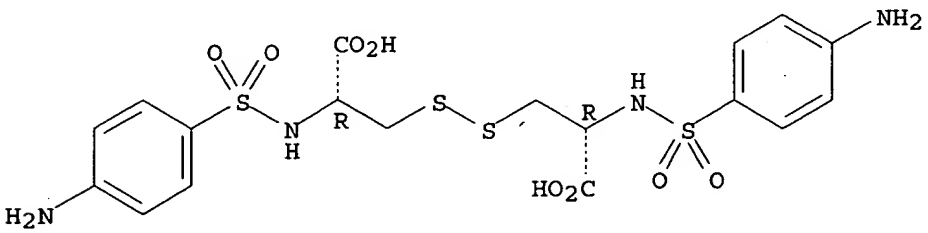


L32 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:597921 HCAPLUS
DOCUMENT NUMBER: 97:197921
TITLE: Synthesis of ω-(4-aminophenylsulfonamido)alkyl disulfides and thiosulfates and their activity against dihydropteroate synthetase from sulfanilamide-resistant Neisseria gonorrhoeae
AUTHOR(S): Foye, William O.; Kauffman, Joel M.; Suttimool. Wichai
CORPORATE SOURCE: Samuel M. Best Res. Lab., Massachusetts Coll. Pharm. Allied Health Sci., Boston, MA, 02115, USA
SOURCE: Journal of Pharmaceutical Sciences (1982), 71(7), 799-802
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two series, of ω-(4-aminophenylsulfonamido)alkyl disulfides and thiosulfates, were prepared by the reaction of 4-AcNHC6H4SO2Cl with either the aminoalkyl disulfide dihydrobromide or the aminoalkyl bromide hydrobromide followed by Na2S2O3. Several of the compds. showed inhibitory activity against dihydropteroate synthetase isolated from a sulfanilamide-resistant strain of Neisseria gonorrhoeae of the same order as that of sulfanilamide. An increase in the hydrophobic nature of the sulfanilamide structure did not increase inhibitory activity against this enzyme.

IT **83626-72-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dihydropteroate synthetase-inhibiting activity of)
RN 83626-72-6 HCAPLUS
CN L-Cystine, N,N'-bis[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L32 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:499178 HCAPLUS
DOCUMENT NUMBER: 85:99178
TITLE: Cysteamine derivatives for oral treatment of seborrhea
INVENTOR(S): Kalopissis, Gregoire; Manoussos, Georges
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: U.S., 19 pp. Division of U.S. 3,821,405.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 19
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3950542	A	19760413	US 1974-468595	19740509
DE 1667903	C3	19820218	DE 1968-O13057	19680212
DE 1667903	A	19720105		
DE 1667903	B2	19741003		
CH 497175	A	19701015	CH 1968-497175	19680213
US 3629452	A	19711221	US 1968-706652	19680219
BE 711049	A	19680820	BE 1968-711049	19680220
BE 711050	A	19680820	BE 1968-711050	19680220
NL 6802404	A	19680822	NL 1968-2404	19680220
NL 6802405	A	19680822	NL 1968-2405	19680220
IT 976353	A	19740820	IT 1968-50591	19680220
FR 8024	M	19700803	FR 1968-8024	19680221
FR 8043	M	19700810	FR 1968-8043	19680221
CH 495151	A	19700831	CH 1968-495151	19680221
FR 8366	M	19710215	FR 1968-8366	19680221
DE 1667902	C3	19740314	DE 1968-O13056	19680221
DE 1667902	B2	19730726		
DE 1667902	A	19720316		
US 3821405	A	19740628	US 1971-140956	19710506
US 4035492	A	19770712	US 1976-653526	19760129
US 4139635	A	19790213	US 1977-790000	19770422

PRIORITY APPLN. INFO.:

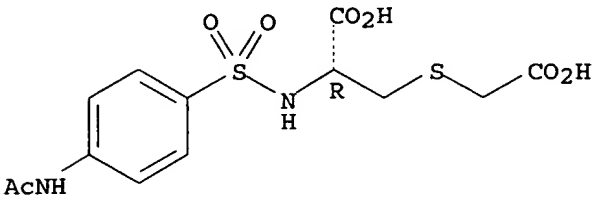
LU 1967-53029	A	19670221
US 1968-706652	A2	19680219
LU 1968-55522	A	19680220
US 1969-801154	A2	19690220
LU 1971-63056	A	19710423
LU 1971-63057	A	19710423
US 1971-140956	A3	19710506
US 1974-468595	A3	19740509
US 1976-653526	A3	19760129

AB Oral prepns. containing 0.75-3 weight% cysteine or cysteamine derivs. are administered to humans at a rate of 1-5 mg/kg/day for .apprx.15 days for treating excessive sebum secretion by the scalp. Several general methods for the synthesis of the active compds. were presented. E.g., 30 g Et nicotinate [614-18-6] and 80 g 2-benzylthioethylamine [1007-54-1] were heated for 12 hr at 170-80° and the liberated ethane removed via a Dean Stark apparatus After cooling, the reaction mixture was dissolved in 400 cc CCl4 and 47 g N-(2-benzylthioethyl)nicotinamide [60116-80-5] crystallized by the addition of petroleum ether. After recrystn. from CCl4 and cyclohexane the product melted at 79°. By bubbling HCl gas into an Me2CO solution of the product, N-(benzylthioethyl)nicotinamide-HCl [40379-38-2], m.p. 135°, was prepared An ampul containing the above HCl salt 50, glucose 300 mg, water to 5 ml, and orange juice to impart aroma was prepared for human administration to treat greasy hair due to excessive sebum secretion.

IT **60115-22-2**

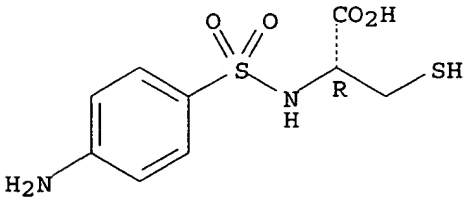
RL: BIOL (Biological study)
(in pharmaceutical, for seborrhea treatment)
RN 60115-22-2 HCAPLUS
CN L-Cysteine, N-[[4-(acetylamino)phenyl]sulfonyl]-S-(carboxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



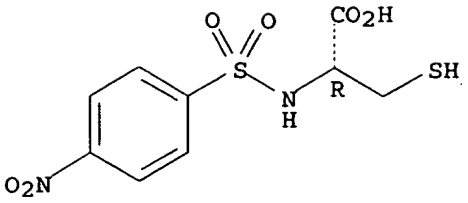
L32 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:479419 HCAPLUS
DOCUMENT NUMBER: 71:79419
TITLE: N-Acyl- and N-sulfonylcysteine derivatives
AUTHOR(S): Martin, Tellis A.
CORPORATE SOURCE: Mead Johnson Res. Center, Evansville, IN, USA
SOURCE: Journal of Medicinal Chemistry (1969), 12, 950-3
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Twenty-six N-acyl-cysteines or N-sulfonylcysteines were synthesized, and some were tested for mucolytic activity, as measured by their ability to decrease the viscosity of a mucoprotein solution Of the sulfhydryl compds. tested, L-N-sulfanilylcysteine, L-3-mercapto-2-ureidopropionamide, L-3-mercapto-2-methanesulfonamidopropion-amide, 2-acetamido-N-(L-1-carboxy-2-mercaptoethyl)-3-mercapto-DL-propionamide, 2-acetamido-N-(L-1-carbamoyl-2-mercaptoethyl)-3-mercapto-DL-propionamide, and N-acetyl-L-cysteine had significant mucolytic activity.
IT **22661-83-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mucolytic activity of)
RN 22661-83-2 HCAPLUS
CN L-Cysteine, N-[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

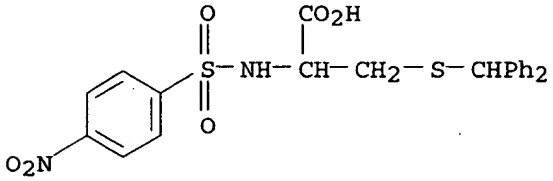


IT **25129-02-6P 25129-12-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 25129-02-6 HCAPLUS
CN L-Cysteine, N-[(4-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

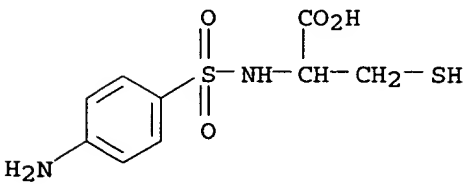
Absolute stereochemistry.



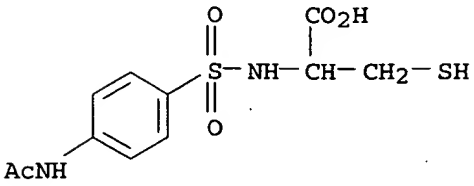
RN 25129-12-8 HCAPLUS
CN Alanine, 3-[(diphenylmethyl)thio]-N-[(p-nitrophenyl)sulfonyl]-, L- (8CI) (CA INDEX NAME)



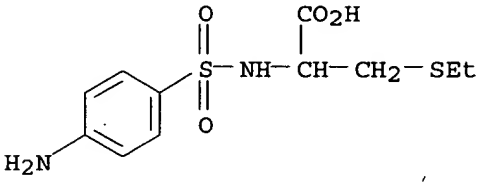
L32 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:472303 HCAPLUS
DOCUMENT NUMBER: 57:72303
ORIGINAL REFERENCE NO.: 57:14392h-i
TITLE: Sulfide derivatives of cysteine. II. Sulfonamide derive tives of cysteine and methionine
AUTHOR(S): Verderame, Matthew
CORPORATE SOURCE: Union Univ., Albany, NY
SOURCE: Journal of Pharmaceutical Sciences (1962), 51, 576-9
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 55, 18578f. Seven new sulfide derivs. of cysteine (I) and 4 new sulfonamide derivs. of I and methionine were synthesized and tested for antiviral activity. Synthesis details for 9 of the new compds. are given. Only L-3-(3-hydroxy-4-carboxyphenylcarbonylmethylthio)alanine showed any effectiveness against Klebsiella pneumoniae infection in mice.
IT **22661-77-4**, Cysteine, N-sulfanilyl- **91215-06-4**, Cysteine, N-(N-acetylsulfanilyl)- **91431-26-4**, Alanine, 3-(ethylthio)-N-sulfanilyl- **93429-15-3**, Alanine, N-(N-acetylsulfanilyl)-3-(ethylthio)- **97019-32-4**, Alanine, 3-(ethylthio)-N-(N-sulfanilylsulfanilyl)- **97115-66-7**, Cystine, N,N'-bis(N-acetylsulfanilyl)-
(preparation and physiol. activity of)
RN 22661-77-4 HCAPLUS
CN Cysteine, N-sulfanilyl- (6CI, 7CI, 8CI) (CA INDEX NAME)



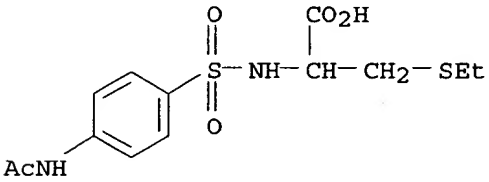
RN 91215-06-4 HCAPLUS
CN Cysteine, N-(N-acetylsulfanilyl)- (7CI) (CA INDEX NAME)



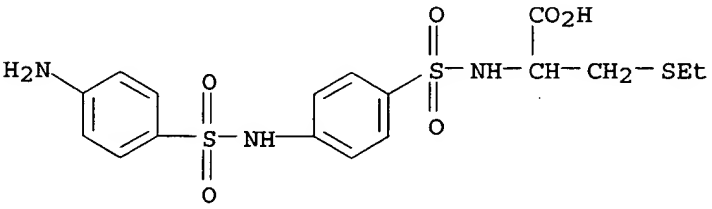
RN 91431-26-4 HCAPLUS
CN Alanine, 3-(ethylthio)-N-sulfanilyl- (6CI, 7CI) (CA INDEX NAME)



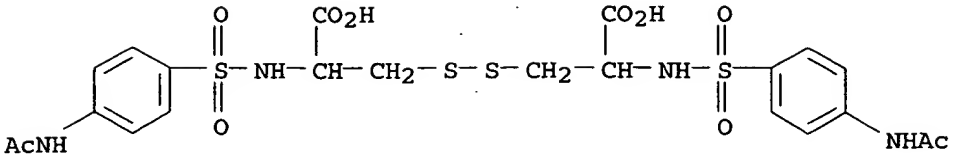
RN 93429-15-3 HCAPLUS
CN Alanine, N-(N-acetylsulfanilyl)-3-(ethylthio)- (6CI, 7CI) (CA INDEX NAME)



RN 97019-32-4 HCAPLUS
CN Alanine, 3-(ethylthio)-N-(N-sulfanilylsulfanilyl)- (7CI) (CA INDEX NAME)



RN 97115-66-7 HCAPLUS
CN Cystine, N,N'-bis(N-acetylsulfanilyl)- (6CI, 7CI) (CA INDEX NAME)



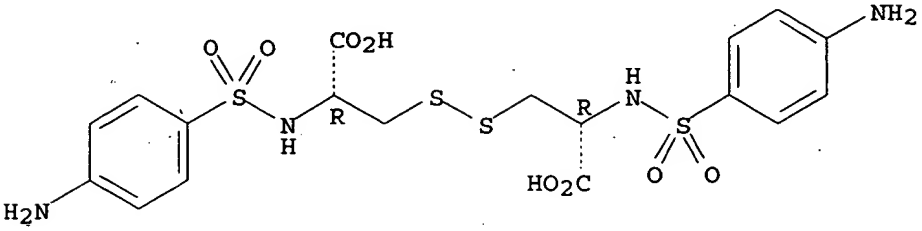
L32 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1958:34784 HCAPLUS
DOCUMENT NUMBER: 52:34784
ORIGINAL REFERENCE NO.: 52:6189h-i,6190a-d
TITLE: Water-soluble sulfonamides. II. Sulfanilylcystine and sulfanilylglycine derivatives
AUTHOR(S): Baganz, Hildegard; Baganz, Horst
CORPORATE SOURCE: Tech. Univ., Berlin-Charlottenburg
SOURCE: Arch. Pharm. (1957), 290, 567-71
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 52:34784

AB cf. C.A. 51, 1064g. Bis(acetylsulfanilyl)cystine (I), m. 209° (decomposition), was prepared in 81.4% yield by modifying the procedure of Irreverre and Sullivan (C.A. 36, 44855). L-Cystine (2.4 g.) in 20 ml. N NaOH was treated with stirring with 2.4 g. p-AcNHC6H4SO2Cl (II) in CHCl3 (exothermic reaction), sufficient dilute NaOH added to effect solution, the mixture chilled 0.5 hr., the insol. material filtered off, the filtrate acidified with dilute HCl, the precipitated crude I (5.3 g.) collected after 12 hrs., dissolved in EtOH, H2O added to permanent turbidity, and the globular aggregates of I which separated in 2 days recrystd. from glacial AcOH. The di-Me ester HCl salt (III) of I, m. 188.5°, was prepared by saturating 9 g. I in 50 ml. absolute MeOH with HCl gas, chilling the dark brown solution overnight, collecting the precipitate (4 g.) (concentration of the mother liquor yielded an addnl. 2.7 g.), washing it with cold MeOH containing HCl, and drying in vacuo over KOH. From III was obtained a quant. yield of the di-Me free ester (IV), needles, m. 175-6°, by treating III in absolute MeOH with C and adding H2O to permanent turbidity. Attempts to prepare the diethyl amide of disulfanilylcystine from IV failed. (Acetylsulfanilyl)glycine (V), m. 225° (decomposition), was prepared in 96.5% yield by shaking 47 g. II 2 hrs. with 15 g. glycine in 60 ml. H2O and 16 g. NaOH, concentrating the mixture in vacuo, filtering, acidifying the filtrate with dilute HCl, isolating the precipitated V, drying in a vacuum oven, and recrystg. from EtOH. A 95% yield of V was obtained with 75 ml. CHCl3 instead of Me2CO. V (50 g.) in 200 ml. absolute MeOH treated with HCl gas 5 hrs. without cooling, the solution concentrated in vacuo, and the precipitate collected and dried in a vacuum oven over KOH yielded sulfanilylglycine Me ester HCl salt (VI), m. 184°. NaOAc added to VI in 200 ml H2O liberated 36.5 g. sulfanilylglycine Me ester (VII), m. 88° (iso-PrOH). Sulfanilylglycine dimethylamide (VIII), m. 148°, was prepared in 40% yield by allowing 6 g. VII and 5 ml. 33% aqueous HNMe2 to stand at room temperature several days, isolating the precipitate, and recrystg. from H2O; concentration of the reaction solution gave addnl. VIII. The corresponding diethylamide (IX) was prepared by allowing VII (or the corresponding Et ester) to stand with 33% aqueous HNEt2 and, when solution was complete, removing the solvent in vacuo; repeated solution and evaporation gave 80% IX.H2O, m. 155-6° 94:6 (acetone-H2O, EtOH, or iso-PrOH). IX acted as a bacteriostatic and tuberculostatic agent in 10 and 5% aqueous solns., resp.

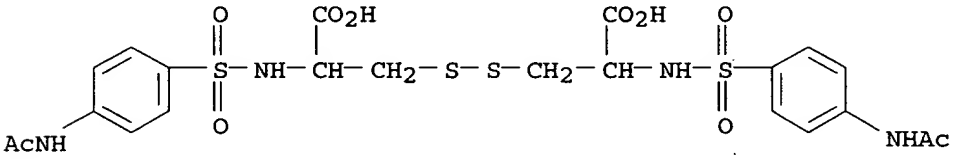
IT **83626-72-6**, Cystine, N,N'-disulfanilyl- (and derivs.)

RN 83626-72-6 HCAPLUS
CN L-Cystine, N,N'-bis[(4-aminophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **97115-66-7**, Cystine, N,N'-bis(N-acetylsulfanilyl)-
(preparation of)
RN 97115-66-7 HCAPLUS
CN Cystine, N,N'-bis(N-acetylsulfanilyl)- (6CI, 7CI) (CA INDEX NAME)



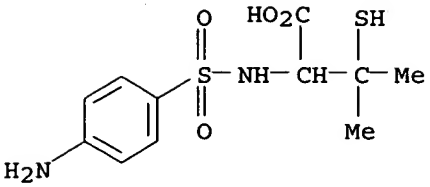
L32 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:43133 HCAPLUS
DOCUMENT NUMBER: 51:43133
ORIGINAL REFERENCE NO.: 51:8005i,8006a-i,8007a
TITLE: Sulfanilyl derivatives of natural α-amino acids and their analogs
AUTHOR(S): Chen-e, Yuan; Shchukina, M. N.
CORPORATE SOURCE: S. Ordzhonikidze All-Union Chem. Pharm. Research Inst., Moscow
SOURCE: Zhurnal Obshchei Khimii (1956), 26, 2872-82
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The following derivs. of amino acids were found to be relatively weakly antibacterially active at best. To 11.25 g. H2NCH2CO2H in 20 ml. 40% NaOH and 50 ml. H2O was added 37.5 g. p-MeO2CNHC6H4SO2Cl with addition of NaOH to maintain the alkalinity of the mixture over 3 hrs.; after clarification with C and acidification there was obtained p-MeO2CNHC6H4SO2-NHCH2CO2H, m. 169-70° (from 60% EtOH). Heating 8.15 g. DL-p-MeO2CNHC6H4SO2NHCHMeCO2H with 8 ml. concentrated H2SO4 and 40 ml. EtOH 4 hrs. at 80-4° gave 91% Et ester, m. 144-4.5°. Heating 2.58 g. p-H2NC6H4SO2NHCH2CO2Et with 1.25 ml. 80% N2H4.H2O in 26 ml. absolute EtOH 4 hrs. gave on evaporation 72% p-H2NC6H4SO2NHCH2CONHNH2, m. 160° (from 50% EtOH). Heating DL-p-H2NC6H4SO2NHCHMeCO2H with EtOH in the presence of HCl or H2SO4 gave 85.3% Et ester, m. 110-11°, which kept 3 days in EtOH-NH3 gave 73.5% corresponding amide, m. 170.5-1.5° (from H2O), which also formed on refluxing the corresponding hydrazide (I) with Raney Ni in 95% EtOH. To 1 g. I in 20 ml. EtOH was added at reflux 1 g. vanillin in 10 ml. EtOH, the mixture kept 2 days at room temperature and heated 4 hrs. to reflux yielding yellow p-H2NC6H4SO2NHCHMeCONHN:CHC6H3(OMe)OH-3,4, m. 172-5°. Treatment of DL-serine in 50% NaOH with p-AcNHC6H4SO2Cl

gave N-(p-acetamidobenzenesulfonyl)-DL-serine (II), m. 211-12° (from 50% EtOH), which heated with 15% HCl, evaporated and neutralized with NaOAc gave 83.5% N-p-acetamidobenzene-sulfonyl-DL-serine, m. 212-2.5° (from 50% EtOH), which with EtOH-HCl gave the Et ester, m. 86-7° (HCl salt, m. 175-81°). II (10 g.) and 1.9 g. KOH in 90 ml. H2O were treated rapidly with 5.65 g. AgNO3 in 50 ml. H2O yielding 87.5% Ag salt, which after drying was suspended in C6H6 and treated 4.6 hrs. with MeI in the dark yielding, after refluxing 3 hrs., 70% II Me ester, m. 164-5°, which heated gradually with excess SOCl2 to 65° gave 74% p-AcNHC6H4SO2NHCH(CH2Cl)CO2Me, m. 130-6°, which treated with EtOCS2K overnight, acidified with HCl, the resulting xanthate derivative (1.52 g.) taken up in EtOH, treated with 25% NH4OH, allowed to stand 3 days, acidified with HCl, evaporated, heated with 15% HCl until dissolved, then treated with 7.5 g. Zn 0.5 hr., evaporated, and neutralized with NaOAc gave 53% N-p-acetamidobenzenesulfonyl-DL-cysteine, decompose 188-92° (from H2O with addition of Na2S2O4); the same formed from DL-cysteine and p-AcNHC6H4SO2Cl in 10% NaOH after the above treatment. Substitution of EtSNa for EtOCS2K in the above synthesis gave 38.2% N-p-aminobenzenesulfonyl-S-ethyl-DL-cysteine HCl salt, m. 159-62°, when the initially formed intermediate was refluxed with 15% HCl; the same formed from the above cysteine derivative on treatment with EtI in aqueous alc. NaOH. The use of BuSNa gave N-p-aminobenzenesulfonyl-S-butyl-DL-cysteine HCl salt, m. 148-52°. To 125 ml. NH3 was added 2.5 g. L-cysteine followed by 0.96 g. Na and after decolorization of the blue solution it was treated with 7.6 g. EtI and stirred 3 hrs. After evaporation of NH3, stirring with H2O 2 hrs., addition of alkali to phenolphthalein endpoint, extraction of EtI with Et2O and treatment of the aqueous solution by p-AcNHC6H4SO2Cl (III), it gave 38.9% N-p-acetamidobenzenesulfonyl-S-ethyl-L-cysteine, m. 180-2° (from 60% EtOH), [α]D20 8°; this heated 1.5 hrs. with 15% HCl gave the p-amino analog, m. 152-3°, [α]D20 -12.8°.
III and DL-glutamic acid in aqueous NaOH gave, after hydrolysis of the Ac group with 15% HCl, 50.5% N-p-aminobenzenesulfonyl-DL-glutamic acid, m. 175-5.5°. To 13.65 g. 2-bromohexanoic acid in 45 ml. EtOH was added 21.1 g. p-H2NC6H4SO2NHK and heated 8 hrs. on a steam bath yielding after separation of KBr, extraction with 10% Na2CO3, and acidification with AcOH 78% N-p-aminobenzenesulfonyl-DL-norleucine, m. 164°; HCl salt, m. 172-6°. Reaction of III with 6-aminohexanoic acid in aqueous NaOH gave 72% N-p-acetamidobenzenesulfonyl-ε-leucine, m. 146-7°; this gave the p-amino analog, m. 134°; Et ester, m. 94°.
Similarly were prepared: p-AcNHC6H4SO2NHCH(CO2H)CH2Ph, m. 221°, its p-amino analog Et ester, m. 120-1°, and its hydrazide, m. 192-3°; p-AcNHC6H4SO2NHCH(CMe2SH)CO2H, m. 224-9°, and its p-amino analog, m. 184-6°; p-AcNHC6H4SO2NHCH(CH2CH2SMe)CO2H, m. 140-51°, and its p-amino analog, m. 159-63°; p-H2NC6H4SO2NHCH(CH2CHMe2)CO2Et, m. 105-6°, its hydrazide; N-p-acetamidobenzenesulfonyltryptophane, m. 238-9°, its p-amino analog, m. 188-90°. N-p-Acetamidobenzenesulfonylproline m. 228-9°, its p-amino analog m. 126-8°, and the Et ester of the latter m. 145-7°. Addition of 17.2 g. iso-BuCHO to 11 g. 95% NaCN, 14 g. NH4Cl, and 50 ml. H2O with good stirring over 40 min., heating 1.5 hrs. at 60-3°, separation of the aminonitrile by extraction with Et2O, and heating this with concentrated HCl 10 hrs. gave on evaporation crude product which after crystallization from a little hot H2O gave 8.6 g. DL-leucine-HCl, which with NaHCO3 gave 36.5% DL-leucine, m. 268-70°.

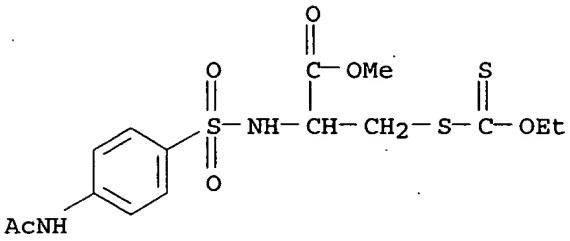
IT **99982-81-7**, Valine, 3-mercapto-N-sulfanilyl- **101497-23-8**, Cysteine, N-(N-acetylsulfanilyl)-, Me ester ethylxanthate **132515-76-5**, Valine, N-(N-acetylsulfanilyl)-3-mercapto- (preparation of)

RN 99982-81-7 HCAPLUS

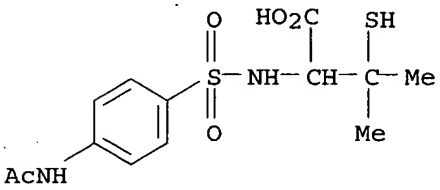
CN Valine, 3-mercapto-N-sulfanilyl- (6CI) (CA INDEX NAME)



RN 101497-23-8 HCAPLUS
CN Cysteine, N-(N-acetylsulfanilyl)-, methyl ester, ethylxanthate (6CI) (CA INDEX NAME)



RN 132515-76-5 HCAPLUS
CN Valine, N-(N-acetylsulfanilyl)-3-mercapto- (6CI) (CA INDEX NAME)



=>